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FULL ESTIMATED COST

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=> s ottinger, h?/au L2 252 OTTINGER, H?/AU

h

ebc gcgb cg

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L7 1376 ROBERT, F?/AU
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            19 S SOLDO, T?/AU
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    ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
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ACCESSION NUMBER:
                        2003:201514 CAPLUS
DOCUMENT NUMBER:
                        138:221853
TITLE:
                        Preparation of pyridinium-betaine compounds as taste
                        enhancers
INVENTOR(S):
                        Hofmann, Thomas; Ottinger, Harald; Frank,
                        Oliver; Soldo, Tomislav; Blank, Imre; Villard,
                        Renaud; Robert, Fabien
PATENT ASSIGNEE(S):
                        Societe des Produits Nestle S.A., Switz.
SOURCE:
                        Eur. Pat. Appl., 17 pp.
                        CODEN: EPXXDW
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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                              DATE
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                        A1 20030320
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PRIORITY APPLN. INFO.:
                                            EP 2001-121349
                                                                A 20010906
                                            WO 2002-EP10368
                                                                W 20020905
OTHER SOURCE(S):
                         MARPAT 138:221853
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GΙ

The invention concerns pyridinium-betaine compds. I (R1 is the side chain of a primary L-amino acid; X, Y are OH or O-), in which the counter ion is sodium, potassium, ammonium, calcium, magnesium, chloride, nitrate, carbonate, sulfate, phosphate, etc., for use as taste enhancers. Thus, treatment of 5-(hydroxymethyl)-2-furancarboxaldehyde with L-alanine in H2O/EtOH (1:1; pH 9.4) at reflux for 3 days afforded (S)-alapyridaine (I; R1 = Me, X = O-, Y = OH), which has a sweet taste.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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     FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE' ENTERED AT 18:33:00 ON 28 NOV 2004
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L5
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L7
           1376 S ROBERT, F?/AU
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(FILE 'HOME' ENTERED AT 18:32:48 ON 28 NOV 2004)

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2 L11 NOT L8

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L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:219832 CAPLUS

DOCUMENT NUMBER:

140:252679

TITLE:

Consumable product including consumable component and

alpha-keto enamine derivatives

INVENTOR(S):

Hofmann, Thomas; Ottinger, Harald; Frank,

Oliver; Soldo, Tomislav; Cerny, Christoph; Robert,

Fabien; Blank, Imre

PATENT ASSIGNEE(S):

SOURCE:

Germany

U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S.

Pat. Appl. 2002 22,039.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
US 2004052828 US 2002022039 US 6592884	A1 A1 B2	20040318 20020221 20030715	US 2003-448306 US 2001-863970		20030530 20010523	
PRIORITY APPLN. INFO.:			EP 2000-110886 US 2001-863970	A A2	20000523 20010523	
OTHER SOURCE(S):	MARPAT	140:252679				

A consumable product that includes a consumable component and a cooling AB component present in an amt. sufficient to provide a cooling sensation to a consumer, which cooling component includes one or more compds. each having the general formula (I): wherein R1 is selected from the group consisting of N-Pyrrolidinyl, N-Pyridinyl, N-(aminodiethyl), N-(2-carboxy-pyrrolidinyl), piperidinyl, or N-(2-Methoxycarbonylpyrrolidinyl); R2 is selected from the group consisting of H or Me; X is selected from the group consisting of methylene, ethylidene, 1 -Propylidene, or oxy radical; and Y is selected from the group consisting of methylene, ethylidene, 1-propylidene, oxy radical, ethan-1,2-diyl, ethen-1,2-diyl, propan-1,2-diyl, or ethan-1-oxy-1-yl, provided that when R1 is N-pyrrolidinyl, X is methylene, and Y is ethylidene then R2 cannot be H, and provided that when R 1 is N-pyrrolidinyl, and X and Y are each methylene, then R2 cannot be Me. In particular, the consumable product can be a food product, perfume, cosmetic, or pharmaceutical.

L12 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

Fuli Text

ACCESSION NUMBER:

2001:864700 CAPLUS

DOCUMENT NUMBER:

136:5066

TITLE:
INVENTOR(S):

Use of alpha-keto enamine derivatives as ingredients

Hofmann, Thomas; Ottinger, Harald; Frank,

Oliver; Soldo, Tomislav; Cerny, Christoph; Robert,

Fabien; Blank, Imre

PATENT ASSIGNEE(S):

Societe des Produits Nestle S.A., Switz.

SOURCE:

Eur. Pat. Appl., 11 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
EP 1157617	A2	20011128	EP 2001-111959	20010521			
EP 1157617	A3	20020911					
R: AT, BE, CH,	DE, DK	, ES, FR, G	B, GR, IT, LI, LU, N	IL, SE, MC, PT,			
IE, SI, LT,	LV, FI	, RO					
ZA 2001004188	A	20021122	ZA 2001-4188	20010522			
CA 2348301	AA	20011123	CA 2001-2348301	20010523			
CN 1334031	A	20020206	CN 2001-124817	20010523			
BR 2001002083	A	20020319	BR 2001-2083	20010523			
<u>JP 2002084990</u>	A2	20020326	JP 2001-153799	20010523			
NZ 511903	A	20021220	NZ 2001-511903	20010523			
PRIORITY APPLN. INFO.:			EP 2000-110886	A 20000523			
OTHER SOURCE(S):	MARPAT	136:5066					
GI							

AB The present invention concerns the use of a compd. of general formula I alone or in combination, as an ingredient for food, cosmetic, pharmaceutical and perfume compns., wherein R1 is N-pyrrolidinyl, R2 is

Me, X is Ethylidene and Y is an Oxy radical.

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(FILE 'HOME' ENTERED AT 18:32:48 ON 28 NOV 2004)

FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE' ENTERED AT 18:33:00 ON 28 NOV 2004 L11518 S HOFMANN, T?/AU L2 252 S OTTINGER, H?/AU 743 S FRANK, 0?/AU L3L4. 19 S SOLDO, T?/AU 397 S BLANK, I?/AU L528 S VILLARD, R?/AU L6 L7 1376 S ROBERT, F?/AU

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=> s 16 and 14 and 15

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=> s 114 not 18

L15 3 L14 NOT L8

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ANSWER 1 OF 3 L15

MEDLINE on STN

Full Text

ACCESSION NUMBER: 2003335953 MEDLINE

DOCUMENT NUMBER:

PubMed ID: 12822944

TITLE:

Racemic and enantiopure synthesis and physicochemical

characterization of the novel taste enhancer

N-(1-carboxyethyl)-6-(hydroxymethyl)pyridinium-3-ol inner

salt.

AUTHOR: Villard Renaud; Robert Fabien; Blank Imre;

Bernardinelli Gerald; Soldo Tomislav; Hofmann Thomas

CORPORATE SOURCE:

Nestle Research Center, Vers-chez-les-Blanc, 1000 Lausanne

26, Switzerland.

SOURCE:

Journal of agricultural and food chemistry, (2003 Jul 2) 51

(14) 4040-5.

Journal code: 0374755. ISSN: 0021-8561.

PUB. COUNTRY:

United States

DOCUMENT TYPE:

Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: FILE SEGMENT: English

ENTRY MONTH:

Priority Journals

200308

ENTRY DATE:

Entered STN: 20030719

Last Updated on STN: 20030821 Entered Medline: 20030820

AΒ Convenient syntheses were developed to obtain on a multigram scale the novel taste enhancer N-(1-carboxyethyl)-6-(hydroxymethyl)pyridinium-3-ol 1, called alapyridaine, as a racemic mixture and as pure (+)-(S) and (-)-(R) enantiomers, respectively. 5-(Hydroxymethyl)-2-furaldehyde was

used as key intermediate and was reacted with 1-alanine under alkaline conditions to obtain racemic 1. Alternatively, reductive amination of 5-(hydroxymethyl)-2-furaldehyde with Raney-Ni/hydrogen and 1- or d-alanine followed by mild oxidation led to (+)-(S)-1 and (-)-(R)-1, respectively. Racemization was promoted under alkaline and boiling conditions via a carbanion, the formation of which was facilitated by the electron-withdrawing effect of the iminium cation and the resonance-stabilizing capacity of the pyridinium moiety. Under these conditions, 1 was obtained in a 1:1 mixture of the phenol (1) and phenolate (1-H) forms as shown by X-ray diffraction. Racemic 1 formed monoclinic crystals of high molecular organization in which the phenol-type (RS)-1, the phenolate-type (RS)-1-H, sodium cations, and ethanol molecules are present. The crystal structure of [Na(1)(1-H).(C(2)H(6)O)] shows one-dimensional mu(2)-bridging-oxygen polymers stabilized by a three-dimensional network of ionic, hydrogen bond, and pi-stacking interactions with channels occupied by solvent molecules.

L15 ANSWER 2 OF 3 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN

Text

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

2003:387310 BIOSIS PREV200300387310

Racemic and enantiopure synthesis and physicochemical

characterization of the novel taste enhancer

N-(1-Carboxyethyl)-6-(hydroxymethyl)pyridinium-3-ol inner

AUTHOR(S):

Villard, Renaud; Robert, Fabien; Blank, Imre [Reprint Author]; Bernardinelli, Gerald; Soldo, Tomislav; Hofmann,

Thomas

CORPORATE SOURCE:

Nestle Research Center, Vers-chez-les-Blanc, 1000, P.O. Box

44, Lausanne, 26, Switzerland imre.blank@rdls.nestle.com

Journal of Agricultural and Food Chemistry, (July 2 2003)

Vol. 51, No. 14, pp. 4040-4045. print.

CODEN: JAFCAU. ISSN: 0021-8561.

DOCUMENT TYPE:

LANGUAGE:

SOURCE:

Article English

ENTRY DATE:

Entered STN: 20 Aug 2003

Last Updated on STN: 18 Sep 2003

AΒ Convenient syntheses were developed to obtain on a multigram scale the novel taste enhancer N-(1-carboxyethyl)-6-(hydroxymethyl)pyridinium-3-ol 1, called alapyridaine, as a racemic mixture and as pure (+)-(S) and (-)-(R) enantiomers, respectively. 5-(Hydroxymethyl)-2-furaldehyde was used as key intermediate and was reacted with L-alanine under alkaline conditions to obtain racemic 1. Alternatively, reductive amination of 5-(hydroxymethyl)-2-furaldehyde with Raney-Ni/hydrogen and L- or D-alanine followed by mild oxidation led to (+)-(S)-1 and (-)-(R)-1, respectively. Racemization was promoted under alkaline and boiling conditions via a carbanion, the formation of which was facilitated by the electron-withdrawing effect of the iminium cation and the resonance-stabilizing capacity of the pyridinium moiety. Under these conditions, 1 was obtained in a 1:1 mixture of the phenol (1) and phenolate (1-H) forms as shown by X-ray diffraction. Racemic 1 formed monoclinic crystals of high molecular organization in which the phenol-type (RS)-1, the phenolate-type (RS)-1-H, sodium cations, and ethanol molecules are present. The crystal structure of $(\mbox{Na}\,(1)\,(1-\mbox{H})\,\mbox{cntdot}\,(\mbox{C2H6O})\,)\ \mbox{shows one-dimensional mu2-bridging-oxygen}$ polymers stabilized by a three-dimensional network of ionic, hydrogen bond, and pi-stacking interactions with channels occupied by solvent

molecules.

L15 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

Text

ACCESSION NUMBER: DOCUMENT NUMBER:

2003:421335 CAPLUS 139:133445

TITLE:

Racemic and Enantiopure Synthesis and Physicochemical

Characterization of the Novel Taste Enhancer

N-(1-Carboxyethyl)-6-(hydroxymethyl)pyridinium-3-ol

Inner Salt

AUTHOR(S):

Villard, Renaud; Robert, Fabien; Blank, Imre; Bernardinelli, Gerald; Soldo, Tomislav; Hofmann,

CORPORATE SOURCE:

SOURCE:

Nestle Research Center, Lausanne, 1000, Switz.

Journal of Agricultural and Food Chemistry (2003),

51(14), 4040-4045

CODEN: JAFCAU; ISSN: 0021-8561

American Chemical Society

DOCUMENT TYPE: LANGUAGE:

PUBLISHER:

Journal English

OTHER SOURCE(S):

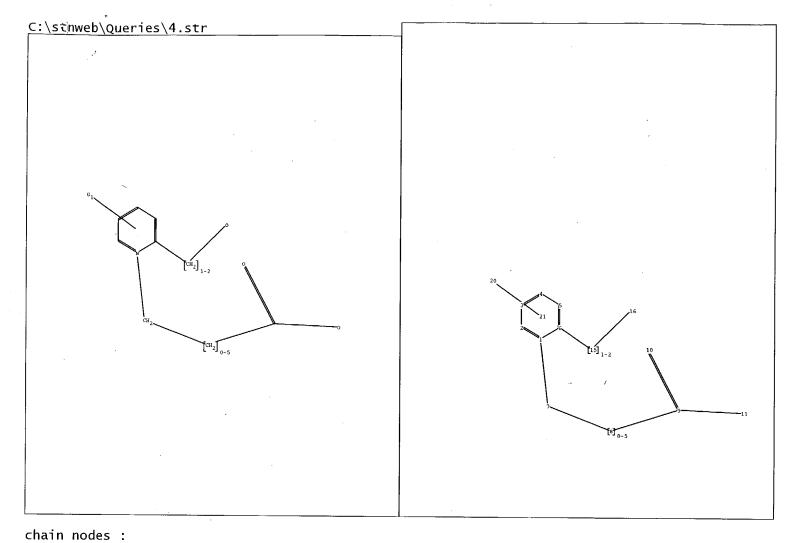
CASREACT 139:133445

Convenient syntheses were developed to obtain on a multigram scale the novel taste enhancer N-(1-carboxyethyl)-3-hydroxy-6-(hydroxymethyl)pyridinium (I), called alapyridaine, as a racemic mixt. and as pure (+)-(S) and (-)-(R) enantiomers, resp. 5-(Hydroxymethyl)-2furaldehyde was used as key intermediate and was reacted with L-alanine under alk. conditions to obtain racemic I. Alternatively, reductive amination of 5-(hydroxymethyl)-2-furaldehyde with Raney-Ni/hydrogen and Lor D-alanine followed by mild oxidn. led to (+)-(S)-I and (-)-(R)-I, resp. Racemization was promoted under alk. and boiling conditions via a carbanion, the formation of which was facilitated by the electron-withdrawing effect of the iminium cation and the resonance-stabilizing capacity of the pyridinium moiety. Under these conditions, I was obtained in a $1:1\ \mathrm{mixt.}$ of the phenol I and phenolate (I-H) forms as shown by X-ray diffraction. Racemic I formed monoclinic crystals of high mol. organization in which the phenol-type (RS)-I, the phenolate-type (RS)-I-H, sodium cations, and ethanol mols. are present. The crystal structure of $[Na(I)(I-H)\cdot(C2H6O)]$ shows one-dimensional $\mu 2\text{-bridging-oxygen}$ polymers stabilized by a three-dimensional network of ionic, hydrogen bond, and π -stacking interactions with channels occupied by solvent mols.

REFERENCE COUNT:

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THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



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exact bonds:
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normalized bonds:
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NEWS 4 SEP 01	New pricing for the Save Answers for SciFinder Wizard within
	STN Express with Discover!
NEWS 5 SEP 01	New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
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NEWS 7 SEP 27	SWETSCAN will no longer be available on STN
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NEWS 9 NOV 18	Current-awareness alerts, saved answer sets, and current
	search transcripts to be affected by CERAB, COMPUAB, ELCOM,

NEWS EXPRESS	OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
	MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
	AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 26 NOV 2004 HIGHEST RN 789461-15-0 DICTIONARY FILE UPDATES: 26 NOV 2004 HIGHEST RN 789461-15-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

cg

Crossover limits have been increased. See <u>HELP CROSSOVER</u> for details.

Experimental and calculated property data are now available. For more information enter <u>HELP PROP</u> at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.42 0.63

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:13:58 ON 28 NOV 2004
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STRUCTURE FILE UPDATES: 26 NOV 2004 HIGHEST RN 789461-15-0 DICTIONARY FILE UPDATES: 26 NOV 2004 HIGHEST RN 789461-15-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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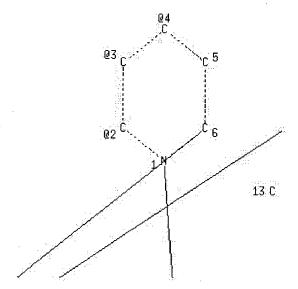
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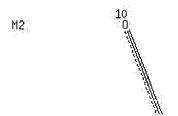
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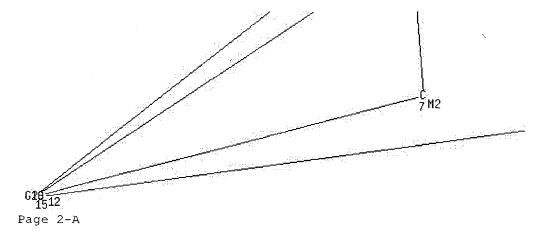


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Page 1-B



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                       1
NSPEC
        IS R
                  AT
                       2
NSPEC
        IS R
                  AT
                       3
NSPEC
       IS R
                  AT
NSPEC
       IS R
                  AT
NSPEC
       IS R
                  ΑT
                       6
NSPEC
        IS C
                  AT
                       7
NSPEC
        IS C
                  AT
                       8
NSPEC
        IS C
                  AT
                       9
NSPEC
        IS C
                  AT
                     10
        IS C
NSPEC
                  AT
                      11
NSPEC IS C
                  AT
                     12
NSPEC
       IS C
                  ΑT
                      13
NSPEC
        IS C
                  AT
                      14
NSPEC
        IS C
                  AT
                      15
NSPEC
        IS C
                  AT
                      16
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT
                     7 8 9 10 11 13 14 17 18
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

=> s 1.1

SAMPLE SEARCH INITIATED 18:17:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS

SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ON

ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

11 TO 389

PROJECTED ANSWERS:

0 TO

0 SEA SSS SAM L1

=> s ll full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END: Y
FULL SEARCH INITIATED 18:17:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 156 TO ITERATE

100.0% PROCESSED 156 ITERATIONS SEARCH TIME: 00.00.01

0 ANSWERS

L3 0 SEA SSS FUL L1

=> file medline, biosis, caplus, embase COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 157.94 158.57

FULL ESTIMATED COST

FILE 'MEDLINE' ENTERED AT 18:18:11 ON 28 NOV 2004

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FILE 'EMBASE' ENTERED AT 18:18:11 ON 28 NOV 2004 COPYRIGHT (C) 2004 Elsevier Inc. All rights reserved.

=>

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * * *
NEWS	1			Web Page URLs for STN Seminar Schedule - N. America
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NEWS	3	SEP	01	INPADOC: New family current-awareness alert (SDI) available
NEWS	4	SEP	01	New pricing for the Save Answers for SciFinder Wizard within
				STN Express with Discover!
NEWS	5	SEP	01	New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS	6	SEP	27	STANDARDS will no longer be available on STN
NEWS	7	SEP	27	SWETSCAN will no longer be available on STN
NEWS	8	OCT	28	KOREAPAT now available on STN
NEWS	_ 9	NOV	18	Current-awareness alerts, saved answer sets, and current
		-		search transcripts to be affected by CERAB, COMPUAB, ELCOM,
				and SOLIDSTATE reloads
NEWS	EXP	RESS	OC'	FOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
•				CINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),

NEWS HOURS
NEWS LOGIN
NEWS PHONE
NEWS PHONE
NEWS WWW

MACINTOSH VERSION IS V6.UC(ENG) AND V6.UJC(JP),
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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FILE 'HOME' ENTERED AT 18:13:37 ON 28 NOV 2004

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:13:43 ON 28 NOV 2004
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STRUCTURE FILE UPDATES: 26 NOV 2004 HIGHEST RN 789461-15-0 DICTIONARY FILE UPDATES: 26 NOV 2004 HIGHEST RN 789461-15-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.42 0.63

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:13:58 ON 28 NOV 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 NOV 2004 HIGHEST RN 789461-15-0 DICTIONARY FILE UPDATES: 26 NOV 2004 HIGHEST RN 789461-15-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

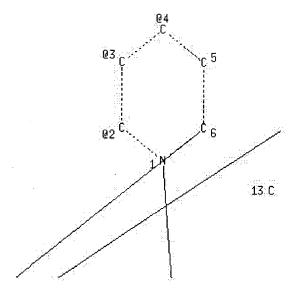
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L1 STRUCTURE UPLOADED

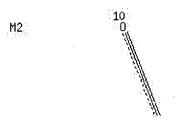
=> d 11 L1 HAS NO ANSWERS L1 STR



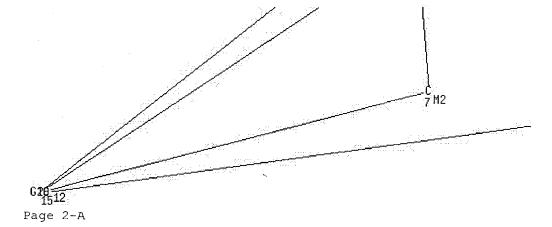


Page 1-A





Page 1-B



```
8 C M2
Page 2-B
VAR G1=17/18
REP G19=(1-2) 13-6 13-14
REP G20=(0-5) 8-7 8-9
VPA 16-2/3/4 S
NODE ATTRIBUTES:
HCOUNT IS M2
                  AT
                        7
HCOUNT
       IS M2
                  AT
                        8
HCOUNT
       IS M2
                  AT
                       13
NSPEC
        IS R
                  AT
                        1
NSPEC
        IS R
                  AT
                        2
NSPEC
        IS R
                  AT
                        3
NSPEC
        IS R
                  ΑT
NSPEC
        IS R
                  ΑT
NSPEC
        IS R
                  AT
NSPEC
        IS C
                  AT
NSPEC
        IS C
                  AT
NSPEC
        IS C
                  ΑT
                        9
NSPEC
        IS C
                  AT
                       10
NSPEC
        IS C
                  AT
                       11
        IS C
NSPEC
                  AT
                      12
NSPEC
        IS C
                  AT
                      13
NSPEC
        IS C
                  AT
                       14
NSPEC
        IS C
                  AT
                       15
NSPEC
        IS C
                  AT
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT
                       7 8 9 10 11 13 14 17 18
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

=> s 1.1

SAMPLE SEARCH INITIATED 18:17:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

11 TO 389

PROJECTED ANSWERS:

0 TO

L2

0 SEA SSS SAM L1

=> s 11 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 18:17:49 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 156 TO ITERATE

100.0% PROCESSED 156 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L30 SEA SSS FUL L1

=> file medline, biosis, caplus, embase COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 157.94 158.57

FULL ESTIMATED COST

FILE 'MEDLINE' ENTERED AT 18:18:11 ON 28 NOV 2004

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=> s l1 and ol, s?/au COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID The query entered contains both search terms created by structure-building or screen commands and text search terms. L#s created via the STRUCTURE or SCREEN commands must be searched in the structures files separately from text terms or profiles. The L# answer sets from structure searches can be used in crossover searches and can be combined with text terms.

=> d his

(FILE 'HOME' ENTERED AT 18:13:37 ON 28 NOV 2004)

FILE 'REGISTRY' ENTERED AT 18:13:43 ON 28 NOV 2004

FILE 'REGISTRY' ENTERED AT 18:13:58 ON 28 NOV 2004

L1STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1 FULL

FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE' ENTERED AT 18:18:11 ON 28 NOV 2004

=> s ol, s?/au

1699 OL, S?/AU

=> s suzuki, n?/au

14156 SUZUKI, N?/AU L5

=> s aso, k?/au

```
1317 ASO, K?/AU
=> s banno, y?/au
           788 BANNO, Y?/AU
=> d his
     (FILE 'HOME' ENTERED AT 18:13:37 ON 28 NOV 2004)
     FILE 'REGISTRY' ENTERED AT 18:13:43 ON 28 NOV 2004
     FILE 'REGISTRY' ENTERED AT 18:13:58 ON 28 NOV 2004
L1
                STRUCTURE UPLOADED
L2
              0 S L1
L3
              0 S L1 FULL
     FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE' ENTERED AT 18:18:11 ON 28 NOV 2004
L4
          1699 S OL, S?/AU
L5
          14156 S SUZUKI, N?/AU
L6
          1317 S ASO, K?/AU
           788 S BANNO, Y?/AU
=> s 1.4 and 1.5
            0 L4 AND L5
=> s 14 and 16 and 17
             0 L4 AND L6 AND L7
=> s 17 and 16
            1 L7 AND L6
L10
=> d 110, cbib abs, 1
L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
  8881888188
            Document No. 132:321662 Preparation of aromatic amine
2000:277959
    derivatives and agents containing the same. Oi, Satoru; Suzuki, Nobuhiro;
    Aso, Kazuyoshi; Banno, Yoshihiro (Takeda Chemical Industries, Ltd.,
     Japan). PCT Int. Appl. WO 2000023420 A1 20000427, 309 pp. DESIGNATED
    STATES: W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ,
    DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT,
    LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM,
    TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM;
    RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,
    GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (Japanese).
    CODEN: PIXXD2. APPLICATION: WO 1999-JP5755 19991019. PRIORITY: JP
     1998-298940 19981020.
```

GΙ

AΒ Title compds. [I; wherein A is an optionally substituted arom. ring; B is an optionally substituted cyclic hydrocarbon oxy group; Z is an optionally substituted cyclic hydrocarbon group; R1 is hydrogen, optionally substituted hydrocarbyl, an optionally substituted heterocyclic group, or acyl; R2 is optionally substituted amino; D is a free valency or a divalent group; E is CO, CON(Ra), COO, N(Ra)CON(Rb), N(Ra)SO2, N(Ra), O, S, SO, SO2; G is a free valency or a divalent group; L is a free valency, an optionally substituted divalent hydrocarbon group which may be interrupted by O or S, or the like; X is oxygen, optionally oxidized sulfur, optionally substituted nitrogen, or an optionally substituted divalent hydrocarbon group; Y is two hydrogen atoms, oxygen, or sulfur; and the dotted line indicates that R2 and an atom on ring B may together form a ring] and salts are prepd. and tested as somatostatin receptor regulators. Thus, the title compd. II was prepd. in treatment or prevention of diabetes and obesity.

=> d his

(FILE 'HOME' ENTERED AT 18:13:37 ON 28 NOV 2004)

FILE 'REGISTRY' ENTERED AT 18:13:43 ON 28 NOV 2004

FILE 'REGISTRY' ENTERED AT 18:13:58 ON 28 NOV 2004

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1 FULL

FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE' ENTERED AT 18:18:11 ON 28 NOV 2004

L4 1699 S OL, S?/AU

L5 14156 S SUZUKI, N?/AU

L6 1317 S ASO, K?/AU

L7 788 S BANNO, Y?/AU

L8 0 S L4 AND L5

L9 0 S L4 AND L6 AND L7

L10 1 S L7 AND L6

=> s 15 and 17

h ebc gcgb c

L11 1 L5 AND L7

=> s 111 not 110

L12 0 L11 NOT L10

=> s 14 and 17

L13 0 L4 AND L7

=> s 15 and 16

L14 2 L5 AND L6

=> s 114 not 111

L15 1 L14 NOT L11

=> d 115, ibib abs, 1

L15 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

Text Season

ACCESSION NUMBER:

2001:851111 CAPLUS

DOCUMENT NUMBER:

136:5926

TITLE:

Preparation of benzoaromatic derivatives as melanin

concentrating hormone antagonists

INVENTOR(S):

Ishihara, Yuji; Terauchi, Jun; Suzuki, Nobuhiro;

Takekawa, Shiro; **Aso, Kazuyoshi**

PATENT ASSIGNEE(S):

Takeda Chemical Industries, Ltd., Japan

SOURCE:

PCT Int. Appl., 285 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
	WO 2001087834			A1 20011122			WO 2001-JP4015				20010515							
	W: AE, AG, AL,			AL,	AM,	ΑT,	ΑU,	ΑZ,	ВA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
									DM,									
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,
			RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,
			VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM			
		RW:	GH,	GM,	KE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
	JP	2001	3324	<u>07</u>		A2 20011130				JP 2000-148674					20000516			
													20010515					
	EΡ	1283	<u> 199</u>			A1 20030212			EP 2001-930132				20010515					
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE, SI, LT,				LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
	US 2003158177					A1 20030821				US 2002-276288				20021112				
PRIO	PRIORITY APPLN. INFO.:								JP 2000-148647				47	A 20000516				
								JP 2001-116219				19	A 20010413					
								JP 2000-148674				A 20000516						
											WO 2	001-	JP40:	15	Ţ	<i>v</i> 2	0010	515
OTHE	OTHER SOURCE(S):					MAR	PAT	136:	5926									
GΙ																		

cg

$$\mathsf{RX} - \mathsf{N} \quad \mathsf{B} \quad \mathsf{A} \quad \mathsf{Y} - \mathsf{N} \\ \mathsf{R2} \quad \mathsf{I}$$

AB Title compds. [I; R = H, halo, cyclic; X = bond, spacer contg. a chain with one to six atoms; Y = spacer with one to six atoms; A = benzene; B = 5-9 membered nitrogen contg. nonarom. heterocycle; R1 = H, hydrocarbon, heterocycle; R2 = H, hydrocarbon, heterocycle; R1R2 = nitrogen contg. heterocycle; YR2 = nitrogenous heterocycle], melanin-concg. hormone antagonist, which contains a compd. represented by the formula or a salt thereof are prepd. useful as prevention or remedy for adiposity, diabetes, or high blood pressure. Thus, the title compd. II was prepd. and biol. tested.

REFERENCE COUNT:

531 THERE ARE 531 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT